



(12) **EUROPEAN PATENT APPLICATION**

(88) Date of publication A3:
19.09.2012 Bulletin 2012/38

(51) Int Cl.:
C07C 211/00 ^(2006.01) **C07C 211/27** ^(2006.01)
C07C 217/58 ^(2006.01) **C07C 233/78** ^(2006.01)
C07C 279/12 ^(2006.01)

(43) Date of publication A2:
27.06.2012 Bulletin 2012/26

(21) Application number: **12000444.5**

(22) Date of filing: **21.01.2005**

(84) Designated Contracting States:
AT BE BG CH CY CZ DE DK EE ES FI FR GB GR HU IE IS IT LI LT LU MC NL PL PT RO SE SI SK TR

- **Liu, Dahui**
Wynnewood
PA 19096 (US)
- **Tew, Gregory, N.**
South Deerfield, Massachussetts 01273 (US)
- **Klein, Michael, L.**
Ocean City
NJ 08226 (US)

(30) Priority: **23.01.2004 US 538270 P**

(62) Document number(s) of the earlier application(s) in accordance with Art. 76 EPC:
05711747.5 / 1 711 455

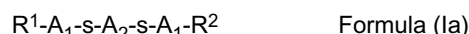
(71) Applicant: **The Trustees Of The University Of Pennsylvania**
Philadelphia PA 19104-6283 (US)

(74) Representative: **Mai, Dörr, Besier**
Patentanwälte
John-F.-Kennedy-Straße 4
65189 Wiesbaden (DE)

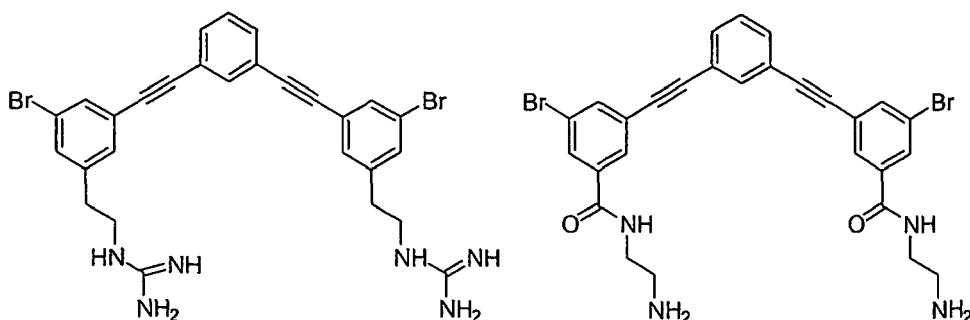
(72) Inventors:
• **Degrado, William, F.**
Moylan
PA 19065 (US)

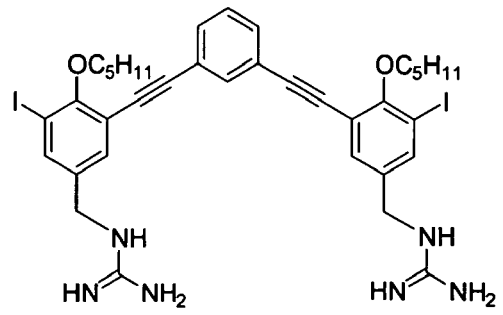
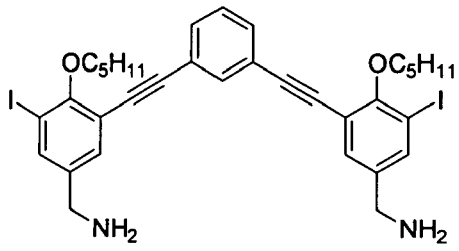
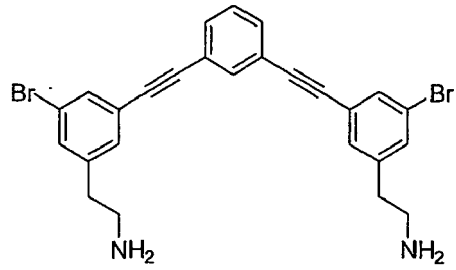
(54) **Facially amphiphilic polyaryl and polyarylkynyl polymers and oligomers and uses thereof**

(57) The present invention discloses facially amphiphilic polyaryl and polyarylkynyl polymers and oligomers of formula (Ia), compositions thereof, preparation thereof and their use as antimicrobial agents and as antidotes for hemorrhagic complications associated with heparin therapy



wherein A_1 and A_2 are independently substituted or unsubstituted arylene or heteroarylene, s is absent, or $-CH=CH-$ or $C\equiv C-$ and R^1 and R^2 are as defined in claim 1. Examples of compounds of formula (Ia) are the following





**PARTIAL EUROPEAN SEARCH REPORT**

Application Number

under Rule 62a and/or 63 of the European Patent Convention.
This report shall be considered, for the purposes of
subsequent proceedings, as the European search report

EP 12 00 0444

DOCUMENTS CONSIDERED TO BE RELEVANT			
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	CLASSIFICATION OF THE APPLICATION (IPC)
X	SHORTELL D B ET AL: "Solid-phase approaches toward cyclic oligomers", TETRAHEDRON, ELSEVIER SCIENCE PUBLISHERS, AMSTERDAM, NL, vol. 57, no. 44, 29 October 2001 (2001-10-29), pages 9055-9065, XP004311141, ISSN: 0040-4020, DOI: 10.1016/S0040-4020(01)00930-9 * page 9057; compound 13 * -----	1-9,23	INV. C07C211/00 C07C211/27 C07C217/58 C07C233/78 C07C279/12
X	YUTO SAIKI ET AL: "[3+3]Cycloalkyne Dimers Linked by an Azo Group: A Stable cis -Azo Compound Forms Polymeric Aggregates by Nonplanar [pi]-[pi] Interactions", JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 125, no. 31, 1 August 2003 (2003-08-01), pages 9268-9269, XP55034861, ISSN: 0002-7863, DOI: 10.1021/ja034942q * pages S2,S6; compound 9 * ----- -/--	1-9,18, 21,22,24	TECHNICAL FIELDS SEARCHED (IPC) C07C A61K
INCOMPLETE SEARCH			
The Search Division considers that the present application, or one or more of its claims, does/do not comply with the EPC so that only a partial search (R.62a, 63) has been carried out. Claims searched completely : Claims searched incompletely : Claims not searched : Reason for the limitation of the search: see sheet C			
Place of search		Date of completion of the search	Examiner
The Hague		10 August 2012	Guazzelli, Giuditta
CATEGORY OF CITED DOCUMENTS		T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons ----- & : member of the same patent family, corresponding document	
X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document			

 1
 EPO FORM 1503 03/92 (P04E07)



PARTIAL EUROPEAN SEARCH REPORT

Application Number

EP 12 00 0444

DOCUMENTS CONSIDERED TO BE RELEVANT			CLASSIFICATION OF THE APPLICATION (IPC)
Category	Citation of document with indication, where appropriate, of relevant passages	Relevant to claim	
X	KEIICHI NAKAMURA ET AL: "Low Temperature Sonogashira Coupling Reaction", SYNLETT, vol. 1999, no. 5, 1 May 1999 (1999-05-01), pages 549-550, XP55034862, ISSN: 0936-5214, DOI: 10.1055/s-1999-2684 * product in Scheme 1; page 550 *	1-8,18, 20,24	
A,D	----- LACHELLE ARNT ET AL: "New Poly(phenyleneethynylene)s with Cationic, Facially Amphiphilic Structures", JOURNAL OF THE AMERICAN CHEMICAL SOCIETY, vol. 124, no. 26, 1 July 2002 (2002-07-01), pages 7664-7665, XP55028144, ISSN: 0002-7863, DOI: 10.1021/ja026607s * the whole document *	1-31	TECHNICAL FIELDS SEARCHED (IPC)
A	----- ARNT L. AND TEW G.: "Phenylene ethynylene polymers with amphiphilic structures", POLY DIVISION, 224TH ACS NATIONAL MEETING, 2002, XP002681542, * the whole document *	1-31	
A,D	----- WO 02/100295 A2 (UNIV PENNSYLVANIA [US]; DEGRADO WILLIAM F [US]; TEW GREGORY N [US]; KL) 19 December 2002 (2002-12-19) * claim 1 *	1-31	



INCOMPLETE SEARCH SHEET C

Application Number

EP 12 00 0444

Claim(s) searched incompletely:
1-31

Reason for the limitation of the search:

The present claims relate to an extremely large number of possible compounds, compositions and uses. Support and disclosure within the meaning of Article 84 and 83 EPC are to be found, however, for only a very small proportion of the compounds claimed, i.e. the compounds of claim 25. Furthermore, A 1 and A2 of claim 1 are defined as "optionally substituted arylene or ... heteroarylene, wherein...", while in the further characterisation of said arylene or heteroarylene in (ii) one of A1 or A2 is defined as CC(CH₂)_pCC and thus cannot be an arylene or a heteroarylene. Said definitions are contradictory and therefore claim 1 does not fulfill the requirements of Article 84 EPC.

Non-compliance with the substantial provisions is such that a meaningful search of the whole subject-matter of the claims could not be carried out (Rule 63 EPC and Guidelines B-VIII, 3). The extent of the search was consequently limited.

The search of claims 1-31 was restricted to those claimed compounds and use which appear to be supported and a generalisation of their structural formulae, in particular to compounds of formula (Ia) wherein A1 and A2 are m-phenylene, with a polar group (PL) and the other of A1 or A2 is unsubstituted; s represents ethynylene; R1 is R2; PL is a polar group selected from the group consisting of halo, hydroxyethoxymethyl, methoxyethoxymethyl, polyoxyethylene, and -(NR^{5'})q₁PL -UPL -(CH₂)_pPL-(NR^{5'})q₂PL -V, wherein: R⁵, R^{5'}, and R^{5''} are independently selected from the group consisting of hydrogen, alkyl, and alkoxy; UPL is absent or selected from the group consisting of O, S, S(=O), S(=O)₂, NR⁵, -(C=O)-, -(C=O)-N=N-NR⁵ -, -(C=O)-NR⁵ -N=N-, -N=N-NR⁵ -, -C(N-N(R⁵)₂)-, -C(=NR⁵)-, -C(=O)=O-, -C(=O)S-, -C(=S)-, -O-P(=O)₂ O-, -R⁵ O-, -R⁵ S-, -S-C=N- and -(C=O)-NR⁵-O-, wherein groups with chemically non equivalent termini can adopt both possible orientations; V is selected from the group consisting of nitro, cyano, amino, hydroxyl, alkoxy, alkylthio, alkylamino, dialkylamino, -NH(CH₂)_p NH₂ -, -N(CH₂CH₂NH₂)₂, diazamino, amidino, guanidino, guanyl, semicarbazone, aryl, heterocycle and heteroaryl, any of which is optionally substituted with one or more of amino, halo, cyano, nitro, hydroxyl, -NH(CH₂)_p NH₂ -, -N(CH₂CH₂NH₂)₂, amidino, guanidino, guanyl, aminosulfonyl, aminoalkoxy, aminoalkylthio, lower acylamino, or benzyloxycarbonyl; the alkylene chain -(CH₂)_pPL - is optionally substituted with one or more amino hydroxyl groups, or the alkylene chain is unsaturated; pPL is 0 to 8; and q₁PL and q₂PL are independently 0 to 2.

**ANNEX TO THE EUROPEAN SEARCH REPORT
ON EUROPEAN PATENT APPLICATION NO.**

EP 12 00 0444

This annex lists the patent family members relating to the patent documents cited in the above-mentioned European search report. The members are as contained in the European Patent Office EDP file on
The European Patent Office is in no way liable for these particulars which are merely given for the purpose of information.

10-08-2012

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 02100295 A2	19-12-2002	AT 408387 T	15-10-2008
		AT 510547 T	15-06-2011
		AU 2002254133 B2	21-12-2006
		AU 2002326375 B2	21-12-2006
		CA 2451117 A1	19-12-2002
		CA 2452977 A1	19-09-2002
		CN 1505646 A	16-06-2004
		CN 1522148 A	18-08-2004
		CN 102295744 A	28-12-2011
		DK 1372551 T3	12-01-2009
		DK 1372674 T3	12-09-2011
		EP 1372551 A2	02-01-2004
		EP 1372674 A2	02-01-2004
		EP 2289524 A1	02-03-2011
		ES 2314087 T3	16-03-2009
		JP 4170214 B2	22-10-2008
		JP 2005502736 A	27-01-2005
		JP 2005507953 A	24-03-2005
		JP 2009155653 A	16-07-2009
		US 2004185257 A1	23-09-2004
		WO 02072007 A2	19-09-2002
		WO 02100295 A2	19-12-2002
